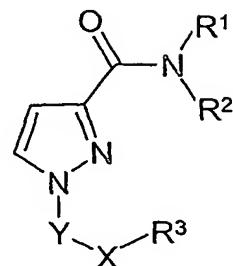


**Claims**

1. A compound of formula I,



5

wherein

either

- 10  $R^1$  represents an aryl group or a heteroaryl group, both of which are optionally substituted by one or more substituents selected from  $G^1$  and  $B^1$ , which  $B^1$  group may itself be further substituted by one or more substituents selected from  $G^2$ ,  $Z$  (provided that  $Z$  is not directly attached to an aryl or a heteroaryl group) and  $B^2$  (which  $B^2$  group is optionally further substituted by one or more substituents selected from  $G^3$ ,  $B^3$  and  $Z$ , provided that  $Z$  is not attached to an aryl or a heteroaryl group); and
- 15  $R^2$  represents H or  $C_{1-6}$  alkyl, which latter group is optionally substituted by one or more halo groups;
- or
- 20 when  $R^2$  represents  $C_{1-6}$  alkyl optionally substituted by halo,  $R^1$  and  $R^2$  may be linked together forming a further 5- to 7-membered ring, optionally containing 1 to 3 heteroatoms and/or 1 to 3 double bonds, which ring is itself optionally substituted by one or more substituents selected from  $G^1$ ,  $Z$  (provided that the ring is not aromatic in nature) and  $B^1$  (which  $B^1$  group is optionally substituted as described above);
- 25

R<sup>3</sup> represents C<sub>1-6</sub> alkyl, C<sub>2-6</sub> alkenyl, C<sub>2-6</sub> alkynyl, C<sub>3-8</sub> cycloalkyl, C<sub>3-8</sub> heterocycloalkyl, aryl or heteroaryl, all of which groups are optionally substituted by one or more substituents selected from G<sup>1a</sup>, Z (provided that

5 Z is not directly attached to an aryl or a heteroaryl group) and B<sup>1</sup> (which B<sup>1</sup> group is optionally substituted as described above);

X represents a direct bond, -O- or -N(R<sup>4</sup>)-;

Y represents -C(O)-, -C(S)- or -S(O)<sub>2</sub>-;

10

B<sup>1</sup>, B<sup>2</sup> and B<sup>3</sup> independently represent, on each occasion when used above, C<sub>1-6</sub> alkyl, C<sub>2-6</sub> alkenyl, C<sub>2-6</sub> alkynyl, C<sub>3-8</sub> cycloalkyl, C<sub>3-8</sub> heterocycloalkyl, aryl or heteroaryl;

15 G<sup>1</sup>, G<sup>1a</sup>, G<sup>2</sup> and G<sup>3</sup> independently represent, on each occasion when used above, halo, cyano, -N<sub>3</sub>, -NO<sub>2</sub>, -ONO<sub>2</sub> or -A<sup>1</sup>-R<sup>4</sup>;

wherein A<sup>1</sup> represents a spacer group selected from -C(Z)A<sup>2</sup>-, -N(R<sup>5</sup>)A<sup>3</sup>-, -OA<sup>4</sup>-, -S- or -S(O)<sub>n</sub>A<sup>5</sup>-, in which:

A<sup>2</sup> represents a single bond, -O-, -S- or -N(R<sup>5</sup>)-;

20 A<sup>3</sup> represents A<sup>6</sup>, -C(Z)N(R<sup>5</sup>)C(Z)N(R<sup>5</sup>)-, -C(Z)N(R<sup>5</sup>)C(Z)O-, -C(Z)N(R<sup>5</sup>)S(O)<sub>n</sub>N(R<sup>5</sup>)-, -C(Z)S-, -S(O)<sub>n</sub>-, -S(O)<sub>n</sub>N(R<sup>5</sup>)C(Z)N(R<sup>5</sup>)-, -S(O)<sub>n</sub>N(R<sup>5</sup>)C(Z)O-, -S(O)<sub>n</sub>N(R<sup>5</sup>)S(O)<sub>n</sub>N(R<sup>5</sup>)-, -C(Z)O-, -S(O)<sub>n</sub>N(R<sup>5</sup>)- or -S(O)<sub>n</sub>O-;

A<sup>4</sup> represents A<sup>6</sup>, -S(O)<sub>n</sub>-, -C(Z)O-, -S(O)<sub>n</sub>N(R<sup>5</sup>)- or -S(O)<sub>n</sub>O-;

25 A<sup>5</sup> represents a single bond, -N(R<sup>5</sup>)- or -O-;

A<sup>6</sup> represents a single bond, -C(Z)- or -C(Z)N(R<sup>5</sup>)-;

Z represents, on each occasion when used above, a substituent connected by a double bond, which is selected from =O, =S, =NR<sup>4</sup>, =NN(R<sup>4</sup>)(R<sup>5</sup>), =NOR<sup>4</sup>, =NS(O)<sub>2</sub>N(R<sup>4</sup>)(R<sup>5</sup>), =NCN, =CHNO<sub>2</sub> and =C(R<sup>4</sup>)(R<sup>5</sup>); .

5 R<sup>4</sup> and R<sup>5</sup> independently represent, on each occasion when used above, H or B<sup>4</sup>, which B<sup>4</sup> group is itself optionally substituted by one or more substituents selected from G<sup>4</sup>, Q (provided that Q is not directly attached to an aryl or a heteroaryl group) and B<sup>5</sup> (which B<sup>5</sup> group is itself optionally substituted by one or more substituents selected from G<sup>5</sup>, Q (provided that  
10 Q is not directly attached to an aryl or a heteroaryl group) and B<sup>6</sup>); or when R<sup>4</sup> and R<sup>5</sup> both represent optionally substituted B<sup>4</sup> groups, then any pair thereof may, for example when present on the same atom or on adjacent atoms, be linked together to form, with those, or other relevant, atoms, a 5- to 7-membered ring, optionally containing 1 to 3 heteroatoms  
15 and/or 1 to 3 double bonds, which ring is itself optionally substituted by one or more substituents selected from G<sup>6</sup>, Q (provided that the ring is not aromatic in nature) and B<sup>4</sup> (which B<sup>4</sup> group is optionally substituted as described above);

20 B<sup>4</sup>, B<sup>5</sup> and B<sup>6</sup> independently represent on each occasion when used above C<sub>1-6</sub> alkyl, C<sub>2-6</sub> alkenyl, C<sub>2-6</sub> alkynyl, C<sub>3-8</sub> cycloalkyl, C<sub>3-8</sub> heterocycloalkyl, aryl or heteroaryl;

25 G<sup>4</sup>, G<sup>5</sup> and G<sup>6</sup> independently represent on each occasion when used above, halo, cyano, N<sub>3</sub>, -NO<sub>2</sub>, -ONO<sub>2</sub> or -A<sup>7</sup>-R<sup>6</sup>;  
wherein A<sup>7</sup> represents a spacer group selected from -C(Q)A<sup>8</sup>-, -N(R<sup>7</sup>)A<sup>9</sup>-,  
-N(R<sup>7a</sup>)A<sup>9a</sup>-, -OA<sup>10</sup>-, -S- or -S(O)<sub>n</sub>A<sup>11</sup>-, in which:  
A<sup>8</sup> represents a single bond, -O-, -S- or -N(R<sup>7</sup>)-;  
A<sup>9</sup> represents A<sup>12</sup>, -C(Q)S-, -S(O)<sub>n</sub>-, -C(Q)O-, -S(O)<sub>n</sub>N(R<sup>7</sup>)- or -S(O)<sub>n</sub>O-;

A<sup>9a</sup> represents -C(Q)N(R<sup>7</sup>)C(Q)N(R<sup>7</sup>)-, -C(Q)N(R<sup>7</sup>)C(Q)O-,  
 -C(Q)N(R<sup>7</sup>)S(O)<sub>n</sub>N(R<sup>7</sup>)-, -S(O)<sub>n</sub>N(R<sup>7</sup>)C(Q)N(R<sup>7</sup>)-, -S(O)<sub>n</sub>N(R<sup>7</sup>)C(Q)O-,  
 -S(O)<sub>n</sub>N(R<sup>7</sup>)S(O)<sub>n</sub>N(R<sup>7</sup>)-;

A<sup>10</sup> represents A<sup>12</sup>, -S(O)<sub>n</sub>-, -C(Q)O-, -S(O)<sub>n</sub>N(R<sup>7</sup>)- or -S(O)<sub>n</sub>O-;

5 A<sup>11</sup> represents a single bond, -N(R<sup>7</sup>)- or -O-;

A<sup>12</sup> represents a single bond, -C(Q)- or -C(Q)N(R<sup>7</sup>)-;

Q represents, on each occasion when used above, a substituent connected by  
 a double bond, which is selected from =O, =S, =NR<sup>6</sup>, =NN(R<sup>6</sup>)(R<sup>7</sup>),  
 10 =NOR<sup>6</sup>, =NS(O)<sub>2</sub>N(R<sup>6</sup>)(R<sup>7</sup>), =NCN, =CHNO<sub>2</sub> and =C(R<sup>6</sup>)(R<sup>7</sup>);

R<sup>6</sup>, R<sup>7</sup> and R<sup>7a</sup> independently represent, on each occasion when used above,  
 H, C<sub>1-6</sub> alkyl, C<sub>2-6</sub> alkenyl, C<sub>2-6</sub> alkynyl, C<sub>3-8</sub> cycloalkyl, C<sub>3-8</sub>  
 15 heterocycloalkyl, aryl or heteroaryl, which latter seven groups are  
 optionally substituted by one or more groups selected from halo, C<sub>1-6</sub> alkyl  
 (optionally substituted by one or more halo groups), -N(R<sup>8</sup>)R<sup>9</sup>, -OR<sup>8</sup>,  
 -ONO<sub>2</sub> and -SR<sup>8</sup>; or

provided that they do not represent H, any pair of R<sup>6</sup> and R<sup>7</sup> may, for  
 example when present on the same atom or on adjacent atoms, be linked  
 20 together to form, with those, or other relevant, atoms, a 5- to 7-membered  
 ring, optionally containing 1 to 3 heteroatoms and/or 1 to 3 double bonds,  
 which ring is itself optionally substituted by one or more groups selected  
 from halo, C<sub>1-6</sub> alkyl (optionally substituted by one or more halo groups),  
 -N(R<sup>8</sup>)R<sup>9</sup>, -OR<sup>8</sup>, -ONO<sub>2</sub> and -SR<sup>8</sup>;

25

R<sup>8</sup> and R<sup>9</sup> independently represent, on each occasion when used above, H or  
 C<sub>1-6</sub> alkyl, which latter group is optionally substituted by one or more halo  
 groups; and

n represents, on each occasion when used above, 1 or 2;

or a pharmaceutically-acceptable salt thereof,

5 provided that, when R<sup>2</sup> represents H, Y represents -C(O)- and:

(A) X represents a direct bond and:

- i) R<sup>3</sup> represents phenyl, then R<sup>1</sup> does not represent phenyl, 2-methoxyphenyl, 2-thiazolyl or 6-methyl-2-pyridinyl;
- ii) R<sup>3</sup> represents 4-fluorophenyl, then R<sup>1</sup> does not represent 2-carbomethoxyphenyl, 3-carbomethoxyphenyl or 2,4-dimethylphenyl;
- iii) R<sup>3</sup> represents 2-chlorophenyl, then R<sup>1</sup> does not represent phenyl, 3-bromophenyl or 4-bromophenyl;
- iv) R<sup>3</sup> represents 3-chlorophenyl, then R<sup>1</sup> does not represent phenyl, 2-fluorophenyl, 2-chlorophenyl, 2,3-dichlorophenyl or 2,5-dichlorophenyl;
- v) R<sup>3</sup> represents 4-chlorophenyl, then R<sup>1</sup> does not represent 3-bromophenyl or 4-methoxyphenyl;
- vi) R<sup>3</sup> represents 3-iodophenyl, then R<sup>1</sup> does not represent 2-methoxyphenyl or 2,4-dimethylphenyl;
- vii) R<sup>3</sup> represents 2,4-dichlorophenyl, then R<sup>1</sup> does not represent 4-chlorophenyl or 2,3-dichlorophenyl;
- viii) R<sup>3</sup> represents 3,5-dinitrophenyl, then R<sup>1</sup> does not represent 2,3-dichlorophenyl;
- ix) R<sup>3</sup> represents 2,4-dimethyl-6-oxo-6H-pyran-3-yl, then R<sup>1</sup> does not represent 3-carbomethoxyphenyl;
- x) R<sup>3</sup> represents methyl, then R<sup>1</sup> does not represent 3,4-dichlorophenyl, 2-methoxyphenyl, 2-thiazolyl, 4-methyl-2-pyridinyl, 6-methyl-2-pyridinyl or 4-acetylphenyl;

xi)  $R^3$  represents ethyl, then  $R^1$  does not represent phenyl, 2,3-dichlorophenyl, 4-methoxyphenyl, 2-carbomethoxyphenyl, 2-thiazolyl or 4-methyl-2-pyridinyl;

(B) X represents  $-N(H)-$  and:

5 i)  $R^3$  represents phenyl, then  $R^1$  does not represent 4-methoxyphenyl, 2,4-dimethylphenyl or 2-thiazolyl;

ii)  $R^3$  represents 3-chlorophenyl, then  $R^1$  does not represent 4-methylphenyl;

10 iii)  $R^3$  represents 4-chlorophenyl, then  $R^1$  does not represent 3-bromophenyl;

iv)  $R^3$  represents 3,4-dichlorophenyl, then  $R^1$  does not represent 4-methyl-2-pyridinyl or 6-methyl-2-pyridinyl;

v)  $R^3$  represents 2'-sulfamoylbiphenyl-4-yl, then  $R^1$  does not represent 5-bromo-2-pyridinyl;

15 vi)  $R^3$  represents 1-propyl, then  $R^1$  does not represent phenyl;

vii)  $R^3$  represents 1-butyl, then  $R^1$  does not represent 4-bromophenyl or 2,4-dimethylphenyl;

viii)  $R^3$  represents cyclohexyl, then  $R^1$  does not represent 4-methoxyphenyl;

20 (C) X represents  $-O-$  and:

i)  $R^3$  represents phenyl, then  $R^1$  does not represent phenyl or 6-methyl-2-pyridinyl;

ii)  $R^3$  represents methyl, then  $R^1$  does not represent phenyl, 2-fluorophenyl, 2,4-dimethylphenyl, 4-acetylphenyl or 2-thiazolyl;

25 iii)  $R^3$  represents ethyl, then  $R^1$  does not represent phenyl, 2-fluorophenyl, 4-acetylphenyl or 4-methyl-2-pyridinyl;

- iv)  $R^3$  represents 1-butyl, then  $R^1$  does not represent 2-fluorophenyl, 2-methoxyphenyl, 4-methyl-2-pyridinyl or 6-methyl-2-pyridinyl;
- 5 v)  $R^3$  represents 2-butyl, then  $R^1$  does not represent 2-thiazolyl or 4-acetylphenyl;
- vi)  $R^3$  represents 2-methyl-1-propyl, then  $R^1$  does not represent phenyl or 3-nitrophenyl.

2. A compound as claimed in Claim 1, wherein  $R^1$  represents an aryl or  
10 heteroaryl group, both of which are optionally substituted as defined in  
Claim 1.

15 3. A compound as claimed in Claim 1 or Claim 2, wherein  $G^1$   
represents halo, cyano or  $-A^1-R^4$ .

4. A compound as claimed in any one of the preceding claims, wherein  
10  $B^1$  represents an optionally substituted  $C_{1-6}$  alkyl,  $C_{2-6}$  alkenyl,  $C_{2-6}$  alkynyl,  
 $C_{3-7}$  cycloalkyl,  $C_{4-7}$  heterocycloalkyl, or phenyl, group.

20 5. A compound as claimed in any one of the preceding claims, wherein  
 $G^{1a}$  represents halo, cyano,  $-NO_2$  or  $-A^1-R^4$ .

6. A compound as claimed in any one of the preceding claims, wherein  
25  $G^2$  represents halo, cyano,  $-ONO_2$  or  $-A^1-R^4$ .

7. A compound as claimed in any one of the preceding claims, wherein  
 $B^2$  represents  $C_{1-6}$  alkyl,  $C_{2-6}$  alkenyl or  $C_{2-6}$  alkynyl, all of which are  
optionally substituted by one or more  $G^3$  and/or  $B^3$  groups.

8. A compound as claimed in any one of the preceding claims, wherein G<sup>3</sup> represents halo, -ONO<sub>2</sub>, -N(R<sup>5</sup>)(R<sup>4</sup>) or -OR<sup>4</sup>.

9. A compound as claimed in any one of the preceding claims, wherein  
5 B<sup>3</sup> represents C<sub>1-6</sub> alkyl, C<sub>2-6</sub> alkenyl or C<sub>2-6</sub> alkynyl.

10. A compound as claimed in any one of the preceding claims, wherein when A<sup>1</sup> represents -N(R<sup>5</sup>)A<sup>3</sup>-, A<sup>3</sup> represents A<sup>6</sup>, -C(Z)S-, -S(O)<sub>n</sub>-, -C(Z)O- or -S(O)<sub>n</sub>N(R<sup>5</sup>)-.

10

11. A compound as claimed in any one of Claims 1 to 9, wherein when A<sup>1</sup> represents -OA<sup>4</sup>-, A<sup>4</sup> represents A<sup>6</sup>.

15

12. A compound as claimed in any one of Claims 1 to 9, wherein when A<sup>1</sup> represents -S(O)<sub>n</sub>A<sup>5</sup>-, A<sup>5</sup> represents a single bond or -N(R<sup>5</sup>)-.

20

13. A compound as claimed in any one of Claims 1 to 9, wherein when A<sup>1</sup> represents -C(Z)A<sup>2</sup>-, A<sup>2</sup> represents a single bond, -O- or -N(R<sup>5</sup>)-.

25

14. A compound as claimed in any one of Claims 1 to 11 or 13 wherein A<sup>1</sup> represents -C(Z)A<sup>2</sup>-, -N(R<sup>5</sup>)A<sup>3</sup>- or -OA<sup>4</sup>-.

25

15. A compound as claimed in any one of the preceding claims, wherein Z represents =O or =NR<sup>4</sup>.

16. A compound as claimed in any one of the preceding claims, wherein when any pair of R<sup>4</sup> and R<sup>5</sup> are linked together to form a ring, they are optionally substituted with G<sup>6</sup> and/or B<sup>4</sup>.

17. A compound as claimed in any one of the preceding claims, wherein G<sup>4</sup> represents halo, cyano, -ONO<sub>2</sub> or -A<sup>7</sup>-R<sup>6</sup>.

5 18. A compound as claimed in any one of the preceding claims, wherein B<sup>5</sup> represents C<sub>1-6</sub> alkyl, C<sub>2-6</sub> alkenyl or C<sub>2-6</sub> alkynyl, all of which are optionally substituted by one or more G<sup>5</sup> and/or B<sup>6</sup> groups.

10 19. A compound as claimed in any one of the preceding claims, wherein G<sup>5</sup> represents halo, -ONO<sub>2</sub>, -N(R<sup>7</sup>)(R<sup>6</sup>) or -OR<sup>6</sup>.

20. A compound as claimed in any one of the preceding claims, wherein B<sup>6</sup> represents C<sub>1-6</sub> alkyl, C<sub>2-6</sub> alkenyl or C<sub>2-6</sub> alkynyl.

15 21. A compound as claimed in any one of the preceding claims, wherein G<sup>6</sup> represents halo, cyano or -A<sup>7</sup>-R<sup>6</sup>.

22. A compound as claimed in any one of the preceding claims, wherein A<sup>7</sup> represents -C(Q)A<sup>8</sup>-, -N(R<sup>7</sup>)A<sup>9</sup>-, -OA<sup>10</sup>-, -S- or -S(O)<sub>n</sub>A<sup>11</sup>-.

20 23. A compound as claimed in any one of the preceding claims, wherein when A<sup>7</sup> represents -N(R<sup>7</sup>)A<sup>9</sup>-, A<sup>9</sup> represents A<sup>12</sup>, -C(Q)S-, -S(O)<sub>n</sub>-, -C(Q)O- or -S(O)<sub>n</sub>N(R<sup>7</sup>)-.

25 24. A compound as claimed in any one of Claims 1 to 22, wherein when A<sup>7</sup> represents -OA<sup>10</sup>-, A<sup>10</sup> represents A<sup>12</sup>.

25. A compound as claimed in any one of Claims 1 to 22, wherein when A<sup>7</sup> represents -S(O)<sub>n</sub>A<sup>11</sup>-, A<sup>11</sup> represents a single bond or -N(R<sup>7</sup>)-.

26. A compound as claimed in any one of Claims 1 to 22, wherein when A<sup>7</sup> represents -C(Q)A<sup>8</sup>-, A<sup>8</sup> represents a single bond, -O- or -N(R<sup>7</sup>)-.

5 27. A compound as claimed in any one of the preceding claims, wherein Q represents =O or =NR<sup>6</sup>.

10 28. A compound as claimed in any one of the preceding claims, wherein R<sup>6</sup>, R<sup>7</sup> and R<sup>7a</sup> independently represent H, C<sub>1-6</sub> alkyl, C<sub>2-6</sub> alkenyl or C<sub>2-6</sub> alkynyl, all of which groups are optionally substituted by one or more groups selected from halo, C<sub>1-6</sub> alkyl, -N(R<sup>8</sup>)R<sup>9</sup>, OR<sup>8</sup> and -ONO<sub>2</sub>.

15 29. A compound as claimed in any one of Claims 1 to 27 wherein when any pair of R<sup>6</sup> and R<sup>7</sup> are linked together to form a ring, that ring is optionally substituted by one or more groups selected from halo, C<sub>1-6</sub> alkyl (optionally substituted by one or more halo groups), -N(R<sup>8</sup>)R<sup>9</sup>, -OR<sup>8</sup> and -ONO<sub>2</sub>.

20 30. A compound as claimed in any one of the preceding claims, wherein B<sup>4</sup> represents an optionally substituted C<sub>1-6</sub> alkyl, C<sub>2-6</sub> alkenyl, C<sub>2-6</sub> alkynyl, C<sub>3-7</sub> cycloalkyl, C<sub>4-7</sub> heterocycloalkyl, or phenyl, group.

25 31. A compound as claimed in any one of the preceding claims wherein R<sup>4</sup> and/or R<sup>5</sup> independently represent H or C<sub>1-6</sub> alkyl, which latter group is optionally substituted by one or more fluoro groups.

32. A compound as claimed in any one of the preceding claims, wherein X represents a direct bond, -O-, -N(H)- or -N(Me)-.

33. A compound as claimed in any one of the preceding claims wherein R<sup>2</sup> represents H, methyl or ethyl.

34. A compound as claimed in any one of Claims 1, 32 or 33, wherein R<sup>1</sup> represents an optionally substituted phenyl, naphthyl, pyrrolidinyl, piperidinyl, pyrrolyl, furanyl, thiophenyl, pyrazolyl, imidazolyl, oxazolyl, isoxazolyl, thiazolyl, pyridinyl, indazolyl, indolyl, indolinyl, isoindolinyl, oxindolyl, quinolinyl, 1,2,3,4-tetrahydroquinolinyl, isoquinolinyl, 1,2,3,4-tetrahydroisoquinolinyl, quinolizinyl, benzofuranyl, isobenzofuranyl, chromanyl, benzothiophenyl, pyridazinyl, pyrimidinyl, pyrazinyl, indazolyl, benzimidazolyl, quinazolinyl, quinoxalinyl, 1,3-benzodioxolyl, benzothiazolyl, or benzodioxanyl, group.

35. A compound as claimed in Claim 34, wherein R<sup>1</sup> represents optionally substituted phenyl, 2-pyridinyl, 3-pyridinyl, 2-thiophenyl, 4-pyrazolyl, 5-isoxazolyl, 1,3-benzodioxolyl, indazolyl, benzothiazolyl, or quinolinyl, group.

36. A compound as claimed in Claim 34 or Claim 35, wherein the optional substituent(s) are selected from halo, cyano, C<sub>1-6</sub> alkyl (which alkyl group may be linear or branched, and/or substituted by one or more fluoro and/or C<sub>3-6</sub> cycloalkyl groups), C<sub>2-6</sub> alkenyl, C<sub>3-6</sub> cycloalkyl, phenyl, pyrrolidinyl piperidinyl, piperazinyl, tetrahydrofuranyl, tetrahydropyranyl, morpholinyl, thiomethyl, methylsulfinyl, methylsulfonyl, -OR<sup>10</sup>, -N(R<sup>10</sup>)R<sup>11</sup>, -C(O)OR<sup>10</sup>, -C(O)R<sup>10</sup>, -C(O)N(R<sup>10</sup>)R<sup>11</sup>, -S(O)<sub>2</sub>N(R<sup>10</sup>)R<sup>11</sup> and -N(R<sup>10</sup>)S(O)<sub>2</sub>R<sup>12</sup>, wherein R<sup>10</sup> and R<sup>11</sup> independently represent H, phenyl, C<sub>1-6</sub> alkyl (which alkyl group is optionally substituted by one or more fluoro atom), C<sub>2-6</sub> alkenyl or C<sub>3-6</sub> cycloalkyl; or R<sup>10</sup> and R<sup>11</sup> may be linked together to form, with the nitrogen atom to which they are attached, a 5- to 7-

membered ring, optionally containing one additional heteroatom and optionally substituted with one or more C<sub>1-6</sub> alkyl groups, which alkyl groups are themselves optionally substituted by one or more halo groups; and R<sup>12</sup> represents phenyl, C<sub>1-6</sub> alkyl (which alkyl group is optionally substituted by one or more fluoro atom), C<sub>2-6</sub> alkenyl or C<sub>3-6</sub> cycloalkyl.

37. A compound as claimed in Claim 36, wherein the optional substituent(s) are selected from carbomethoxy, methyl, dimethylamino, cyano, chloro, fluoro, trifluoromethyl, bromo, methoxy and trifluoromethoxy.

38. A compound as claimed in any one of Claims 1 or 32 to 37, wherein R<sup>3</sup> represents an optionally substituted C<sub>1-6</sub> alkyl, C<sub>3-6</sub> cycloalkyl, phenyl, naphthyl, pyrrolidinyl, piperidinyl, piperazinyl, pyrrolyl, furanyl, thiophenyl, pyrazolyl, imidazolyl, oxazolyl, isoxazolyl, thiazolyl, pyridinyl, indazolyl, indolyl, indolinyl, isoindolinyl, oxindolyl, quinolinyl, 1,2,3,4-tetrahydroquinolinyl, isoquinolinyl, 1,2,3,4-tetrahydroisoquinolinyl, quinolizinyl, benzofuranyl, isobenzofuranyl, chromanyl, benzothiophenyl, pyridazinyl, pyrimidinyl, pyrazinyl, indazolyl, benzimidazolyl, quinazolinyl, quinoxalinyl, 1,3-benzodioxolyl, benzothiazolyl, or benzodioxanyl, group.

39. A compound as claimed in Claim 38, wherein R<sup>3</sup> represents an optionally substituted C<sub>1-6</sub> alkyl, cyclohexyl, phenyl, 2-thiophenyl, 2-furanyl, 3-furanyl, 2-pyrrolyl, 1-naphthyl, 4-piperazinyl, 4-piperidinyl, benzofuranyl, or 1,3-benzodioxolyl, group.

40. A compound as claimed in Claim 38 or Claim 39, wherein the optional substituent(s) are selected from halo, -NO<sub>2</sub>, cyano, C<sub>1-6</sub> alkyl

(which alkyl group may be linear or branched, and/or optionally substituted with one or more halo, C<sub>1-6</sub> alkyl, C<sub>2-6</sub> alkenyl and/or C<sub>3-6</sub> cycloalkyl, groups, which latter three groups are themselves optionally substituted with one or more halo and/or C<sub>1-6</sub> alkyl groups), C<sub>2-6</sub> alkenyl (optionally substituted with one or more C<sub>1-6</sub> alkyl groups), C<sub>3-6</sub> cycloalkyl (optionally substituted with one or more halo groups), phenyl (optionally substituted with one or more halo groups), pyrrolidinyl, piperidinyl, piperazinyl, tetrahydrofuranyl, tetrahydropyranyl, morpholinyl, thiomethyl, methylsulfinyl, methylsulfonyl, =O, -OR<sup>13</sup>, -N(R<sup>13</sup>)R<sup>14</sup>, -C(O)OR<sup>13</sup>, -C(O)R<sup>13</sup>, -C(O)N(R<sup>13</sup>)R<sup>14</sup>, -S(O)<sub>2</sub>N(R<sup>13</sup>)R<sup>14</sup> and -N(R<sup>13</sup>)S(O)<sub>2</sub>R<sup>15</sup>, wherein R<sup>13</sup> and R<sup>14</sup> independently represent H, phenyl, C<sub>1-6</sub> alkyl (which alkyl group is optionally substituted by one or more fluoro atom), C<sub>2-6</sub> alkenyl or C<sub>3-6</sub> cycloalkyl; or R<sup>13</sup> and R<sup>14</sup> may be linked together to form, with the nitrogen atom to which they are attached, a 5- to 7-membered ring, optionally containing one additional heteroatom and optionally substituted with one or more C<sub>1-6</sub> alkyl groups, which alkyl groups are themselves optionally substituted by one or more halo groups; and R<sup>15</sup> represents phenyl, C<sub>1-6</sub> alkyl (which alkyl group is optionally substituted by one or more fluoro atom), C<sub>2-6</sub> alkenyl or C<sub>3-6</sub> cycloalkyl.

20

41. A compound as claimed in Claim 40, wherein the optional substituent(s) are selected from methyl, ethyl, ethoxy, trifluoromethyl, fluoro, chloro, iodo, phenyl, 2-chlorophenyl, 4-chlorophenyl, *n*-pentyl, *i*-propyl, nitro, *t*-butyl, -CH<sub>2</sub>CH=CHC<sub>8</sub>H<sub>17</sub>, trifluoroacetyl, carbomethoxy, carboethoxy and trifluoromethoxy.

25  
42. A compound as claimed in any one of Claims 1 or 32 to 41, wherein R<sup>1</sup> is phenyl, 2-chlorophenyl, 2-chloro-4-fluorophenyl, 3-chloro-4-fluorophenyl, 2,6-dichlorophenyl, 5-chloro-2-cyanophenyl, 2-fluoro-5-

trifluoromethylphenyl, 2-bromo-4-trifluoromethoxyphenyl, 2-methoxy-6-methylphenyl, 3-cyanophenyl, 4-trifluoromethylphenyl, 4-dimethylaminophenyl, 4-carbomethoxyphenyl, 1,3,5-trimethyl-1*H*-pyrazol-4-yl, 3-methylisoxazol-5-yl, 3-pyridinyl, 2-chloro-3-pyridinyl, 3-methyl-2-pyridinyl, 3-carbomethoxythiophen-2-yl or 1,3-benzodioxolyl;

5 R<sup>2</sup> is hydrogen or methyl;

R<sup>3</sup> is methyl, *n*-butyl, *n*-pentyl, 1-octyl, oleoyl, (1*R*,2*S*,5*R*)-(-)-menthyl, 2-chlorobenzyl, benzyl, phenyl, 3-fluorophenyl, 3-chlorophenyl, 4-chlorophenyl, 2-fluoro-5-iodophenyl, 5-fluoro-2-methylphenyl, 4-*tert*-butyl-phenyl, 4-pentylphenyl, 3-trifluoromethylphenyl, 4-trifluoromethoxyphenyl, 4-nitrophenyl, 2-ethoxyphenyl, 1-naphthyl, 2-furanyl, 2,5-dimethyl-3-furanyl, 2-carbomethoxy-5-furanyl, 1-methyl-1*H*-pyrrol-2-yl, 3-methyl-2-benzofuranyl, 3-methyl-2-thiophenyl, 1(*N*)-methyl-4-piperazinyl, 1(*N*)-(2,2,2-trifluoroacetyl)piperidin-4-yl, ethylhexanoate or 1,3-benzodioxolyl;

10 15 Y is -C(O)-, -C(S)- or -S(O)<sub>2</sub>-; and

X is a bond, -N(H)-, -N(Me)-, or -O-.

43. A compound of formula I as defined in any one of Claims 1 to 42, but without the provisos, or a pharmaceutically acceptable salt thereof, for 20 use as a pharmaceutical.

44. A pharmaceutical formulation including a compound of formula I, as defined in any one of Claims 1 to 42, but without the provisos, or a pharmaceutically acceptable salt thereof, in admixture with a 25 pharmaceutically acceptable adjuvant, diluent or carrier.

45. A use of a compound of formula I, as defined in any one of Claims 1 to 42, but without the provisos, or a pharmaceutically acceptable salt thereof, for the manufacture of a medicament for the treatment of a disease

in which inhibition of the activity of a lipoxygenase is desired and/or required.

46. A use as claimed in Claim 45 wherein the lipoxygenase is 15-  
5 lipoxygenase.

47. A use as claimed in Claim 45 or Claim 46, wherein the disease is  
inflammation and/or has an inflammatory component.

10 48. A use as claimed in Claim 47 wherein the inflammatory disease is  
asthma, chronic obstructive pulmonary disease (COPD), pulmonary  
fibrosis, an allergic disorder, rhinitis, inflammatory bowel disease, an ulcer,  
inflammatory pain, fever, atherosclerosis, coronary artery disease,  
vasculitis, pancreatitis, arthritis, osteoarthritis, rheumatoid arthritis,  
15 conjunctivitis, iritis, scleritis, uveitis, a wound, dermatitis, eczema,  
psoriasis, stroke, diabetes, autoimmune diseases, Alzheimer's disease,  
multiple sclerosis, sarcoidosis, Hodgkin's disease or another malignancy.

49. A method of treatment of a disease in which inhibition of the activity  
20 of a lipoxygenase is desired and/or required, which method comprises  
administration of a therapeutically effective amount of a compound of  
formula I as defined in any one of Claims 1 to 42, but without the provisos,  
or a pharmaceutically-acceptable salt thereof, to a patient suffering from, or  
susceptible to, such a condition.

25

50. A combination product comprising:

- (A) a compound of formula I as defined in any one of Claims 1 to 42, but  
without the provisos; and
- (B) another therapeutic agent that is useful in the treatment of inflammation,

wherein each of components (A) and (B) is formulated in admixture with a pharmaceutically-acceptable adjuvant, diluent or carrier.

5        51. A combination product as claimed in Claim 50 which comprises a pharmaceutical formulation including a compound of formula I as defined in any one of Claims 1 to 42, but without the provisos, another therapeutic agent that is useful in the treatment of inflammation, and a pharmaceutically-acceptable adjuvant, diluent or carrier.

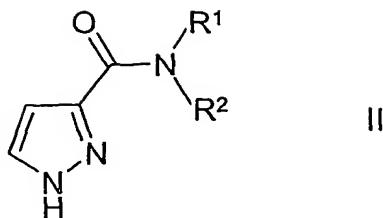
10      52. A combination product as claimed in Claim 50 which comprises a kit of parts comprising components:

- (a) a pharmaceutical formulation including a compound of formula I as defined in any one of Claims 1 to 42, but without the provisos, in admixture with a pharmaceutically-acceptable adjuvant, diluent or carrier; and
- (b) a pharmaceutical formulation including another therapeutic agent that is useful in the treatment of inflammation in admixture with a pharmaceutically-acceptable adjuvant, diluent or carrier,

15      which components (a) and (b) are each provided in a form that is suitable  
20      for administration in conjunction with the other.

53. A process for the preparation of a compound as defined in Claim 1, which comprises:

25      (i) for compounds of formula I in which, when Y is  $-S(O)_2-$ , X represents a direct bond or  $-N(R^4)-$ , in which  $R^4$  represents  $B^4$ , reaction of a compound of formula II,



wherein R<sup>1</sup> and R<sup>2</sup> are as defined in Claim 1, with a compound of formula III,



5 wherein X<sup>a</sup> represents a direct bond or -N(B<sup>4</sup>)- when Y represents -S(O)<sub>2</sub>- or, for all other values of Y, represents X as defined in Claim 1, R<sup>3</sup> and Y are as defined in Claim 1 and L<sup>1</sup> represents a suitable leaving group;

(ii) for compounds of formula I in which X represents a single bond and Y represents -C(O)-, reaction of a compound of formula II as defined above  
10 with a compound of formula IV,



wherein R<sup>3</sup> is as defined in Claim 1;

(iii) for compounds of formula I in which X represents a direct bond and Y represents a -C(O)- or a -C(S)- group, reaction of a compound of formula II as defined above with a compound of formula V,



wherein Y<sup>a</sup> represents -C(O)- or -C(S)- and R<sup>3</sup> is as defined in Claim 1;

(iv) for compounds of formula I, in which X represents -NH- and Y represents -C(O)- or -C(S)-, reaction of a compound of formula II as defined above with a compound of formula VI,

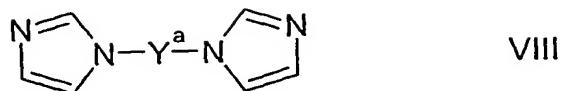


wherein R<sup>3</sup> is as defined in Claim 1 and Y<sup>a</sup> is as defined above;

(v) for compounds of formula I in which Y represents -C(O)- or -C(S)-, reaction of a compound of formula II as defined above with:  
25 (a) a compound of formula VII,



(b) a compound of formula VIII,



wherein, in both cases,  $Y^a$  is as defined above; or

(c) when  $Y$  represents  $-C(O)-$ , triphosgene,

5 followed by:

(1) for compounds of formula I in which X represents a direct bond, reaction with a compound of formula IX,



wherein M represents a metal such as Mn, Fe, Ni, Cu, Zn, Pd or Ce, or a salt or complex thereof and  $R^3$  is as defined in Claim 1;

(2) for compounds of formula I wherein X represents O, reaction with a compound of formula X,



wherein  $R^3$  is as defined in Claim 1; or

15 (3) for compounds of formula I wherein X represents  $-N(R^4)-$ , reaction with a compound of formula XI,



wherein  $R^3$  and  $R^4$  are as defined in Claim 1;

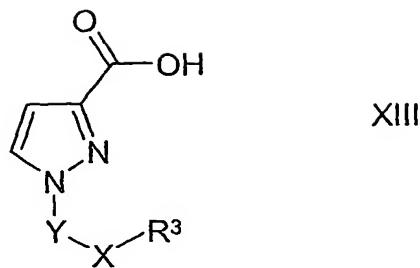
20 (vi) for compounds of formula I in which X represents  $-N(R^4)-$  and  $R^4$  is other than hydrogen, reaction of a corresponding compound of formula I in which X represents  $-N(H)-$  with a compound of formula XII,



wherein  $R^4$  is as defined in Claim 1 and  $L^1$  is as defined above;

(vii) for compounds of formula I in which Y represents  $-C(S)-$ , reaction of a corresponding compound of formula I in which Y represents  $-C(O)-$  with a suitable reagent for the conversion of a carbonyl group to a thiocarbonyl group;

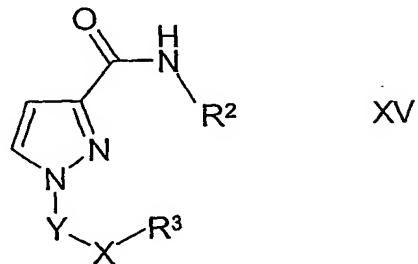
(viii) reaction of a compound of formula XIII,



wherein  $R^3$ , Y and X are as defined in Claim 1, with a compound of formula XIV,



5 wherein  $R^1$  and  $R^2$  are as defined in Claim 1; or  
 (ix) reaction of a compound of formula XV,



wherein  $R^2$ ,  $R^3$ , Y and X are as defined in Claim 1, with a compound of formula XVI,



10 wherein  $L^2$  represents a suitable leaving group and  $R^1$  is as defined in Claim 1.